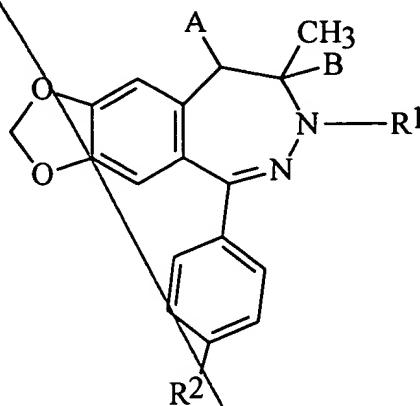


Claim 1. (Twice Amended)

A 1,3-dioxolo-[4,5-

h] [2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula- (CH<sub>2</sub>)<sub>n</sub> - CO - (CH<sub>2</sub>)<sub>m</sub> - R, whereinR represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

C  
D  
cont

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

C1  
D1  
cont

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy

*C1*  
*D1*  
*Cont*

group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl)

group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl

*C1*  
group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group, and pharmaceutically suitable acid addition salts thereof.

*P1*  
Cont

Claim 2. (Twice Amended) A 1,3-dioxolo-[4,5-h][2,3]

benzodiazepine compound as claimed in Claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

- (CH<sub>2</sub>)<sub>n</sub>-CO- (CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a chloro atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group

which latter is optionally substituted by 1 to 3 methoxy groups, or

*D*  
*cont*

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

$n$  has a value of 0, 1 or 2,

$m$  has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, with the proviso that

- 1) if  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and
- 2) if  $n$  has a value of 0,  $m$  has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 5. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-

~~h] [2,3]benzodiazepine compound as claimed in Claim 1, wherein in~~

*C2*  
formula I

~~A forms together with B a valence bond between the carbon atoms in positions 8 and 9,~~

~~R<sup>1</sup> represents a group of the formula~~

~~-CO- (CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein~~

~~R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein~~

~~R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or~~

~~R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituents(s) selected from the group consisting of a hydroxy~~

group, a phenyl group, a phenoxy group, a phenyl (C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

*C 2*  
p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- 1) if R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group, and
- 2) if R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 6. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 5, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>2</sup> represents a nitro group or an amino group,

R<sup>1</sup> stands for a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

*C 2*  
 $R^6$  means a chloro atom, a phenoxy group, or a group of the formula  $-NR^7R^8$ , wherein

$R^7$  and  $R^8$  represent, independently, a hydrogen atom, a guanyl group or a  $C_{1-3}$  alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

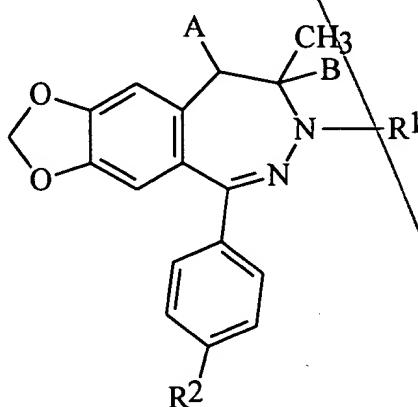
$R^7$  and  $R^8$  form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

$p$  has a value of 0, 1 or 2, with the proviso that if  $R^2$  stands for a nitro group or an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 9. (Amended) A pharmaceutical composition

*C3* comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula- (CH<sub>2</sub>)<sub>n</sub>-CO- (CH<sub>2</sub>)<sub>m</sub>-R, whereinR represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, whereinR<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3</sub>-6 cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino

group, a phenyl group optionally substituted by

one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group

which is optionally substituted by a phenyl group

or a saturated heterocyclic group having 5 or 6

members and comprising 1 to 3 nitrogen atom(s) or

C3  
D2  
cont

a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub>

C 3  
D 2  
cont

alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s),

C3  
D2  
cont

wherein the substituent is a halo atom or a  $C_{1-4}$  alkoxy group, and, in case of the phenoxy( $C_{1-4}$  alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and

*C 3*

*Q 2*

*Cont*

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-14</sub> alkyl group, or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

Claim 10. (Twice Amended) A pharmaceutical composition as claimed in Claim 9 comprising a 1,3-dioxolo-[4,5-h] [2,3]benzodiazepine compound of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula - (CH<sub>2</sub>)<sub>n</sub>-CO- (CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a chloro atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a

C<sup>3</sup>  
R<sup>2</sup>  
cont

phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- 1) if n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 2) if n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of

C 3  
D 2  
cont

~~R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or  
a C<sub>1-4</sub> alkyl group,  
or a pharmaceutically suitable acid addition salt thereof  
as the active ingredient.~~

C 4 Claim 13. (Twice Amended) A pharmaceutical composition as claimed in Claim 9 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula  
-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>,  
wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6

members and comprising one or two nitrogen atom(s)

or a nitrogen and an oxygen atom as the heteroatom,  
 and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl ( $C_{1-4}$  alkyl) group or a phenoxy ( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a  $C_{1-4}$  alkoxy group,

$p$  has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, with the proviso that

- 1) if  $R^2$  stands for a nitro group or an amino group and  $p$  has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group, and
- 2) if  $R^2$  stands for a nitro group or an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 14. (Twice Amended) A pharmaceutical composition as  
claimed in Claim 13 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-  
h][2,3]benzodiazepine compound of the formula I, wherein

C4  
A forms together with B a valence bond between the carbon  
atoms in positions 8 and 9,

$R^2$  represents a nitro group or an amino group,

$R^1$  stands for a group of the formula

$-CO-(CH_2)_p-R^6$ , wherein

$R^6$  means a chloro atom, a phenoxy group, or a group of  
the formula  $-NR^7R^8$ , wherein

$R^7$  and  $R^8$  represent, independently, a hydrogen atom, a  
guanyl group or a  $C_{1-3}$  alkyl group optionally  
substituted by a phenyl group, a dimethoxyphenyl  
group or a morpholino group, or

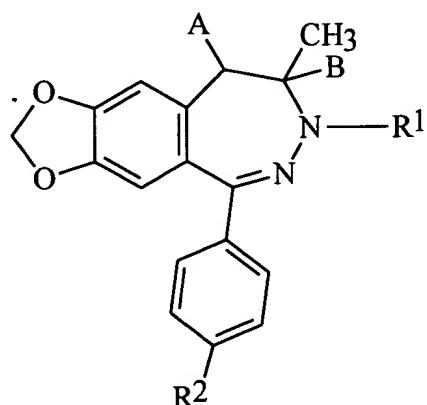
$R^7$  and  $R^8$  form with the adjacent nitrogen atom, an  
oxopyrrolindinyl group, a phthalimido group or a  
saturated heterocyclic group having 5 or 6 members  
and comprising one or two nitrogen atom(s) or a  
nitrogen and an oxygen atom as the heteroatom, and  
said heterocyclic group is optionally substituted  
by one or two identical or different substituent(s)  
selected from the group consisting of a hydroxy  
group, a methoxyphenyl group, a fluorophenyl group,

a      benzyl      group      or      a      (methoxy-phenoxy)-  
 C4      (hydroxypropyl)      group,

p      has a value of 0, 1 or 2, with the proviso that  
 if R<sup>2</sup> stands for a nitro group or an amino group, p has a  
 value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>,  
 then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-3</sub>  
 alkyl group,  
 or a pharmaceutically suitable acid addition salt thereof as  
 the active ingredient.

C5  
 Search  
 193

Claim 16. (Twice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo-[4,5-h] [2,3]benzodiazepine compound of the formula I,



wherein

A      represents a hydrogen atom,

B      means a hydrogen atom,

*C 5*  
*D 3*  
*cont*

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

$R$  represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

$R^3$  and  $R^4$  mean, independently, a hydrogen atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a  $C_{1-4}$  alkoxy group, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a  $C_{1-4}$  alkoxy group,

~~n~~ has a value of 0, 1 or 2,

~~m~~ has a value of 0, 1 or 2, or

~~A~~ forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

~~R<sup>1</sup>~~ represents a group of the formula

~~-CO-(CH<sub>2</sub>)<sub>p</sub>R<sup>6</sup>~~, wherein

~~R<sup>6</sup>~~ stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

~~R<sup>7</sup>~~ and ~~R<sup>8</sup>~~ mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

~~R<sup>7</sup>~~ and ~~R<sup>8</sup>~~ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or

*C5*

*D3*  
*cont*

more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,

CS  
D S  
cont

*C5*  
*R3*  
cont

2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

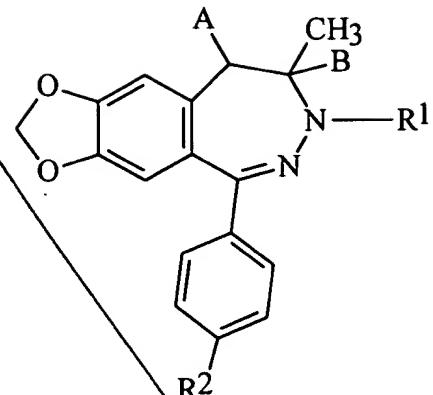
3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof.

Claim 17. (Twice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,

05  
03  
Cont



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted

C5  
 D3  
 cont

by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a  $C_{1-4}$  alkoxy group, and, in case of the phenoxy( $C_{1-4}$  alkyl)

C5-  
D3  
cont

group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),  
 p has a value of 0, 1 or 2,  
 R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,

2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>6</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a

C5  
 D3  
 cont

*C5*  
*D3*  
*cont*

hydrogen atom or a C<sub>1-4</sub> alkyl group, then the  
other of R<sup>3</sup> and R<sup>4</sup> is different from a  
hydrogen atom or a C<sub>1-4</sub> alkyl group,  
or a pharmaceutically suitable acid addition salt thereof, together  
with one or more conventional carrier(s), is converted to a  
pharmaceutical composition.

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